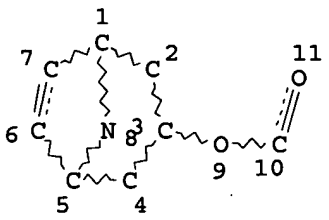

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100.0% PROCESSED    27290 ITERATIONS                                  170 ANSWERS
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L5          16 S L3 FUL

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L7             STRUC
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L9         154 S L8 NOT L5

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L11         17 S L10 AND US/PC
L12          7 S L10 AND (ASTHMA OR COPD OR LUNG)

=> d bib abs 1-7

L12  ANSWER 1 OF 7  CAPLUS  COPYRIGHT 2005 ACS on STN
AN   2005:136543  CAPLUS
DN   142:246142
TI   Medicaments comprising PDE IV inhibitors and an anticholinergic agent for
     treating respiratory disorders
IN   Germeyer, Sabine; Meade, Christopher John Montague; Meissner, Helmut;
     Morschhaeuser, Gerd; Pairet, Michel; Pestel, Sabine; Pieper, Michael P.;
     Pohl, Gerald; Reichl, Richard; Speck, Georg
PA   Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim
     Pharma G.m.b.H. & Co. K.-G.
SO   PCT Int. Appl., 41 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
     ---------------     ----   --------    --------------------    --------
PI   WO 2005013967        A1    20050217    WO 2004-EP8003          20040723
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     US 2005043343         A1    20050224    US 2004-891562          20040715
PRAI EP 2003-17039         A     20030728
     US 2003-508119P       P     20031002
OS   MARPAT 142:246142
AB   The present invention relates to pharmaceutical compns. based on PDE IV
     inhibitors and salts of a novel anticholinergic, processes for preparing them
     and their use in the treatment of respiratory complaints.  For example,
     scopine 9-methylfluorene-9-carboxylate methobromide was prepared and
     formulated into inhalable powder containing the drug 80 μg, AWD-12-281 200
```

μg, and lactose 12220 μg per capsule.
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:98819 CAPLUS
DN 142:198250
TI Medicaments for inhalation comprising an anticholinergic and a betamimetic
IN Meade, Christopher John Montague; Pairet, Michel; Pieper, Michael P.; Konetzki, Ingo
PA Boehringer Ingelheim International G.m.b.H., Germany
SO U.S. Pat. Appl. Publ., 33 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2005025718	A1	20050203	US 2004-891564	20040715
WO 2005013994	A1	20050217	WO 2004-EP8013	20040717

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI EP 2003-17349	A	20030731	
US 2003-508124P	P	20031002	

OS MARPAT 142:198250
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A pharmaceutical composition comprising an anticholinergic, e.g., tropium salt I·X- (X = anion of single neg. charge; F, Cl, Br, I, sulfate, phosphate, SO3Me, NO3, maleate, OAc, citrate, fumarate, tartrate, oxalate, succinate, OBz, SO3C6H4Me-4; optionally as racemates, enantiomers, solvates and/or hydrates), quaternary ammonium salt II·X- [R = Me, Et], or alkaloid salt III·X- [A = bond, O, CH2, H2; R1, R2 = Me, Et, CH2Et, CHMe2 (optionally substituted by OH, F); R3, R4, R5, R6 = H, Me, Et, OMe, OEt, OH, F, Cl, Br, CN, CF3, NO2; R7 = H, Me, Et, OMe, OEt, CH2F, CH2CH2F, OCH2F, OCH2CH2F, CH2OH, CH2CH2OH, CF3, CH2OMe, CH2CH2OMe, CH2OEt, CH2CH2OEt, OAc, OC(:O)Et, OC(:O)CF3, F, Cl, Br], and a betamimetic, e.g., quinolone IV or its enantiomers, optionally together with a pharmaceutically acceptable excipient, the anticholinergic and the betamimetic optionally in the form of their enantiomers, mixts. of their enantiomers, their racemates, their solvates, or their hydrates, processes for preparing them, and their use in the treatment of **asthma**, **COPD**, or other inflammatory or obstructive respiratory complaints.

L12 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:757704 CAPLUS
DN 139:277047
TI Preparation of difurylglycolic acid esters of tropenol as muscarinic M3 antagonists and use thereof as medicaments
IN Morschhaeuser, Gerd; Pieper, Michael P.; Speck, Georg

PA Boehringer Ingelheim Pharma Gmbh & Co. Kg, Germany
SO PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003078428	A1	20030925	WO 2003-EP2418	20030310
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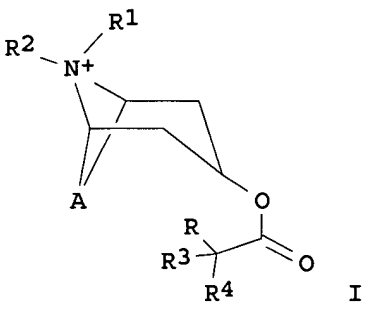
	DE 10211700	A1	20030925	DE 2002-10211700	20020316
	US 2003229227	A1	20031211	US 2003-379441	20030304
	CA 2476746	AA	20030925	CA 2003-2476746	20030310
	EP 1487831	A1	20041222	EP 2003-706609	20030310
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2005520832	T2	20050714	JP 2003-576433	20030310
	US 2005038252	A1	20050217	US 2004-948427	20040923
PRAI	DE 2002-10211700	A	20020316		
	US 2002-368023P	P	20020327		
	US 2003-379441	A1	20030304		
	WO 2003-EP2418	W	20030310		

OS MARPAT 139:277047
GI



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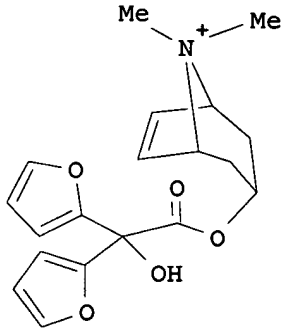

II

AB The invention relates to the preparation of novel difurylglycolic acid esters, such as I·X- [A = CH2CH2, CH:CH, CH(O)CH; X- = neg. charged anion (chloride, bromide, iodide, sulfate, phosphate, methanesulfonate,nitrate, maleate, acetate, citrate, fumarate, tartrate, oxalate, succinate, benzoate, p-toluenesulfonate); R = H, OH, Me, Et, CF3, CHF2, F; R1, R2 = alkyl, cycloalkyl, OH, halo; R1R2 = alkylene bridge;R3, R4 = (un)substituted furyl], their optical isomers, mixts., enantiomers and racemates, and to their use as medicaments. Thus, II·Br- was prepared via a multistep synthetic sequence starting from α-furylcarbinol, tropenol and Me bromide. The muscarinic M3 antagonistic activity of I was examined (no data). Pharmaceutical formulations containing I are presented.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:610447 CAPLUS
DN 139:164908
TI Methods for the production of novel fluorenecarboxylic acid esters and their use as anticholinergic pharmaceuticals
IN Pestel, Sabine; Reichl, Richard; Meissner, Helmut; Pohl, Gerald; Pieper, Michael P.; Germeyer, Sabine; Speck, Georg; Morschhaeuser, Gerd
PA Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany
SO PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003064419	A1	20030807	WO 2003-EP534	20030121
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10203741	A1	20030814	DE 2002-10203741	20020131
	US 2003199539	A1	20031023	US 2003-335795	20030102
	US 6790856	B2	20040914		
	CA 2472149	AA	20030807	CA 2003-2472149	20030121
	EP 1472251	A1	20041103	EP 2003-734600	20030121
	EP 1472251	B1	20050720		
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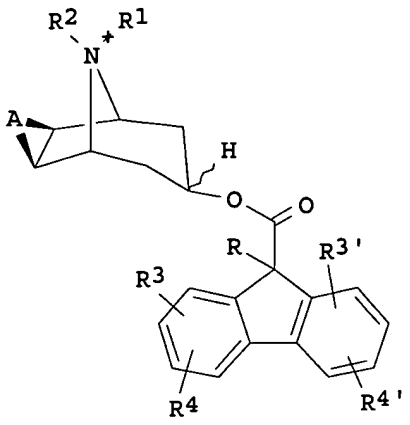
	BR 2003007294	A	20041221	BR 2003-7294	20030121
	JP 2005516067	T2	20050602	JP 2003-564042	20030121
	EP 1561751	A1	20050810	EP 2005-4525	20030121
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	AT 299880	E	20050815	AT 2003-734600	20030121
	NZ 534784	A	20050826	NZ 2003-534784	20030121
	US 2004157832	A1	20040812	US 2004-772797	20040205
	US 6815452	B2	20041109		
	US 2005020622	A1	20050127	US 2004-914744	20040809
	NO 2004003612	A	20040830	NO 2004-3612	20040830
PRAI	DE 2002-10203741	A	20020131		
	US 2002-368416P	P	20020328		
	US 2003-335795	A1	20030102		
	EP 2003-734600	A3	20030121		
	WO 2003-EP534	W	20030121		
	US 2004-772797	A1	20040205		

OS CASREACT 139:164908; MARPAT 139:164908
GI



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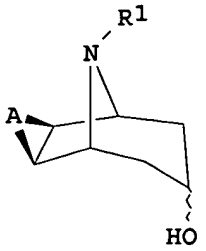


II

AB The invention relates to novel fluorenecarboxylic acid esters I·X- [X- = neg. charged anion; A = O, bond, H2; R = H, OH, Me, Et, CF3,CHF2, F; R1, R2 = C1-5-alkyl (optionally substituted with C3-6-cycloalkyl, OH, halogen); R1R2 = C3-5-alkylne; R3, R3', R4, R4' = H, C1-4-alkyl, C1-4-alkoxy, OH, CF3, CHF2, NO2, CN, halogen], their optical isomers, mixts., enantiomers and racemates, to methods for producing them from alcs. II and to the use of the same as anticholinergic pharmaceuticals. Thus, I·Br- [A = bond, R = F, R1 = R2 = Me, R3 = R4 = R3' = R4' = H] was prepared from 9-hydroxy-9-fluorenecarboxylic acid via esterification with MeOH containing H2SO4, transesterification with tropenol (II; α-OH, A = bond, R1 = Me) and sodium in a melt, fluorination with (MeOCH2CH2)2NSF3 in CH2Cl2 and N-methylation with MeBr in MeCN. The muscarinic acetylcholine receptor binding activity of I·X- was determined (no data). Pharmaceutical formulations containing I·X- are described.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:610445 CAPLUS
DN 139:164906
TI Method for preparation of xanthenecarboxylic acid esters of tropenol and scopine as M3 antagonists and use thereof as medicaments
IN Pestel, Sabine; Reichl, Richard; Meissner, Helmut; Pohl, Gerald; Pieper, Michael P.; Germeyer, Sabine; Speck, Georg; Morschhaeuser, Gerd
PA Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany
SO PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003064417	A1	20030807	WO 2003-EP532	20030121

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

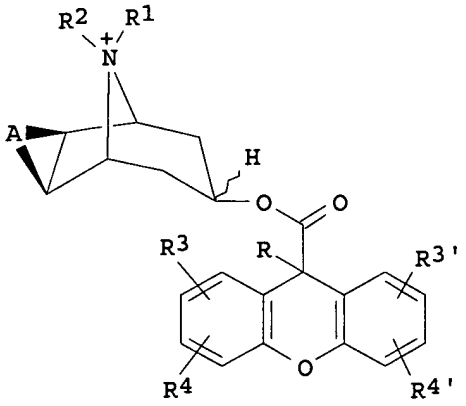
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10203753	A1	20030814	DE 2002-10203753	20020131
US 2003203928	A1	20031030	US 2003-342080	20030114
CA 2471578	AA	20030807	CA 2003-2471578	20030121
EP 1472249	A1	20041103	EP 2003-704440	20030121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005525322	T2	20050825	JP 2003-564040	20030121
PRAI DE 2002-10203753	A	20020131		
US 2002-368238P	P	20020328		
WO 2003-EP532	W	20030121		

OS CASREACT 139:164906; MARPAT 139:164906

GI



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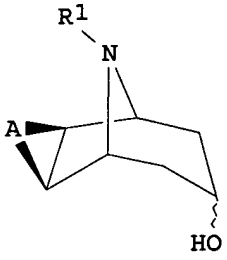

II

AB The invention relates to novel xanthene-carboxylic acid esters I·X- [X = neg. charged anion; A = bond, O; R = OH, Me, CH2OH, Et, CF3, CHF2, F R1, R2 = C1-5-alkyl (optionally substituted with C3-6-cycloalkyl, OH, halogen); R1R2 = C3-5-alkylene bridge; R3, R3', R4, R4' = H, C1-4-alkyl, C1-4-alkoxy, OH, CF3,CHF2,CN, NO2, halogen], their optical isomers, mixts., enantiomers and racemates, to a method for producing said esters from alcs. II and to the use thereof as medicaments. Thus, I·Br- was prepared from 9-xanthenecarboxylic acid, via esterification (NaOEt in EtOH, then MeI), regioselective hydroxylation (KOCMe3 in THF, then O2), transesterification with tropenol and N-methylation with MeBr in CH2Cl2/MeCN. The M3 antagonistic activity of I was examined (no data). Pharmaceutical formulations containing I are presented.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:291678 CAPLUS
DN 136:310064
TI Procedures for the production of new anticholinergics, and their use as drugs
IN Meissner, Helmut; Morschhaeuser, Gerd; Pieper, Helmut; Pohl, Gerald; Reichl, Richard; Speck, Georg
PA Boehringer Ingelheim Pharma K.-G., Germany
SO Ger. Offen., 28 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	DE 10050995	A1	20020418	DE 2000-10050995	20001014
	WO 2002032898	A2	20020425	WO 2001-EP11243	20010928
	WO 2002032898	A3	20021212		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002013977	A5	20020429	AU 2002-13977	20010928
	US 2002119991	A1	20020829	US 2001-965766	20010928
	US 6852728	B2	20050208		
	CA 2425560	AA	20030411	CA 2001-2425560	20010928
	EP 1328524	A2	20030723	EP 2001-982378	20010928
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	US 2005054664	A1	20050310	US 2004-970240	20041021
PRAI	DE 2000-10050995	A	20001014		
	US 2000-249350P	P	20001116		
	US 2001-965766	A1	20010928		
	WO 2001-EP11243	W	20010928		

OS CASREACT 136:310064; MARPAT 136:310064

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention concerns new anticholinergics I [A = CH2CH2, CH:CH, oxirane-2,3-diyl; X- = simple anion; R1, R2 = C1-4-alkyl, C1-4-hydroxyalkyl, C1-4-haloalkyl; R3, R4, R5, R6= H, C1-4-alkyl, C1-4-alkoxy, OH, CF3, CN, NO2, halogen, whereby at least one of R3 - R6 ≠ H] as an optically active isomers, as mixts. of enantiomers or as racemates, procedures for their production as well as their use as drugs. Thus, the diphenylglycolate II·Br- was prepared from tropenol via transesterification of Et bis(3,4-difluorophenyl)glycolate followed by quaternization with MeBr in CH2Cl2/MeCN. Pharmaceutical formulations, for the use of I in tablets, ampuls, aerosols, solns. and inhalants, are presented.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1992:20937 CAPLUS
DN 116:20937
TI Preparation of anticholinergic scopine, (nor)tropine, and granatoline esters of thienylcarboxylic acids and their quaternary salts
IN Banholzer, Rolf; Bauer, Rudolf; Reichl, Richard
PA Boehringer Ingelheim K.-G., Germany; Boehringer Ingelheim International G.m.b.H.
SO Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 418716	A1	19910327	EP 1990-117554	19900912

	EP 418716	B1	19940406		
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	DE 3931041	A1	19910328	DE 1989-3931041	19890916
	DE 3931041	C2	20000406		
	DD 297647	A5	19920116	DD 1990-343854	19900906
	CA 2066248	AA	19910317	CA 1990-2066248	19900908
	CA 2066248	C	19980804		
	WO 9104252	A1	19910404	WO 1990-EP1517	19900908
	W: AU, CA, FI, HU, JP, NO, SU, US				
	AU 9064318	A1	19910418	AU 1990-64318	19900908
	AU 642913	B2	19931104		
	HU 60740	A2	19921028	HU 1992-857	19900908
	HU 208823	B	19940128		
	JP 05502438	T2	19930428	JP 1990-513253	19900908
	JP 07030074	B4	19950405		
	RU 2073677	C1	19970220	RU 1990-5011520	19900908
	AT 103914	E	19940415	AT 1990-117554	19900912
	ES 2052125	T3	19940701	ES 1990-117554	19900912
	ZA 9007338	A	19920826	ZA 1990-7338	19900914
	PL 168468	B1	19960229	PL 1990-286900	19900914
	IL 95691	A1	19960723	IL 1990-95691	19900914
	KR 168432	B1	19990115	KR 1990-14543	19900914
	SK 279453	B6	19981104	SK 1990-4523	19900917
	CZ 284589	B6	19990113	CZ 1990-4523	19900917
	NO 9201002	A	19920313	NO 1992-1002	19920313
	NO 301478	B1	19971103		
	FI 114395	B1	20041015	FI 1992-1087	19920313
	US 5610163	A	19970311	US 1995-405111	19950316
PRAI	DE 1989-3931041	A	19890916		
	DE 1989-3931042	A	19890916		
	WO 1990-EP1517	A	19900908		
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	US 1993-100822	B1	19930802		
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OS MARPAT 116:20937

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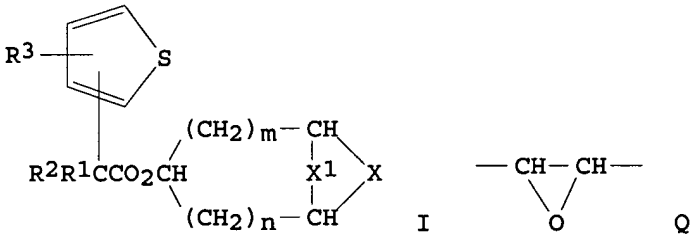

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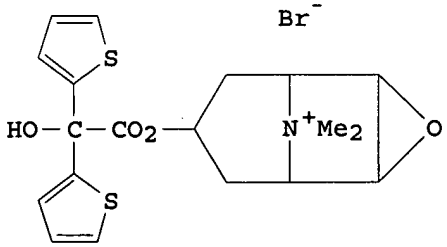

II

AB The title compds. [I; R1 = (un)substituted thienyl, Ph, furyl,

cyclopentyl, cyclohexyl; R2 = H, HO, C1-4 alkyl, C1-4 alkoxy; R3 = H, F, Cl, Me; X = CH2CH2, CH2CH2CH2, CH:CH, Q; X1 = NR, NRR4; R = H, (un)substituted C1-4 alkyl; R4 = C1-4 alkyl; RR4 = C4-6 alkylene; m, n = 1, 2] and their acid addition salts (when NR = secondary or tertiary amino group), anticholinergic agents useful for the treatment of bronchitis, **asthma**, and sinus bradycardia, were prepared by transesterification of C1-4 alkyl thienylcarboxylates with the appropriate azabicyclic alcs. Thus, a solution of 50.87 g Me di-(2-thienyl)glycolate and 31.04 g scopine in 100 mL PhMe was treated by 1.65 g Na in several portions at 90° and the MeOH formed was removed by distillation at 78-90° and 500 mbar over 5 h to give 33.79 g appropriate scopine ester. This in a mixture of 20 mL CH2Cl2 and 30 mL MeCN was treated by MeI (50% solution in MeCN) and the mixture left for 24 h at room temperature to give title compound II which at 3 μg/kg i.v. in rabbits retained 78% inhibitory action against acetylcholine-induced bronchospasms 5 h after administration. Pharmaceutical compns. comprising I are given.

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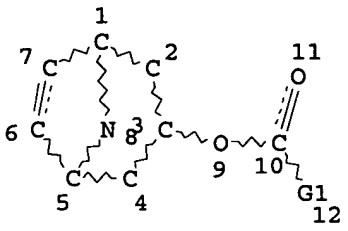

VAR G1=O/N
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DEFAULT ECLEVEL IS LIMITED

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RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

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FULL SCREEN SEARCH COMPLETED - 3868 TO ITERATE

100.0% PROCESSED 3868 ITERATIONS 16 ANSWERS
SEARCH TIME: 00.00.01

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	163.05	163.26

FILE 'CAPLUS' ENTERED AT 07:43:17 ON 16 NOV 2005
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FILE COVERS 1907 - 16 Nov 2005 VOL 143 ISS 21
FILE LAST UPDATED: 15 Nov 2005 (20051115/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

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=> s l5
L6            1 L5

=> d bib abs hitstr

L6   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2005 ACS on STN
AN   2004:450542  CAPLUS
DN   141:23766
TI   Preparation of new carbamic acid esters with anti-cholinergic
     effectiveness
IN   Grauert, Matthias; Hoffmann, Matthias; Pieper, Michael P.; Speck, Georg;
     Breitfelder, Steffen
PA   Boehringer Ingelheim Pharma GmbH & Co. Kg, Germany
SO   Ger. Offen., 40 pp.
     CODEN: GWXXBX
DT   Patent
LA   German
FAN.CNT 1
     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
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PI   DE 10255040           A1    20040603    DE 2002-10255040        20021126
     CA 2507110            AA    20040610    CA 2003-2507110         20031119
     WO 2004048373         A1    20040610    WO 2003-EP12912         20031119
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
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             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,
             NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
             TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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     EP 1567526            A1    20050831    EP 2003-782212          20031119
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     BR 2003016549          A     20051004    BR 2003-16549           20031119
     US 2004132760          A1    20040708    US 2003-718403          20031120
PRAI DE 2002-10255040       A     20021126
     US 2003-446600P        P     20030211
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OS   MARPAT 141:23766
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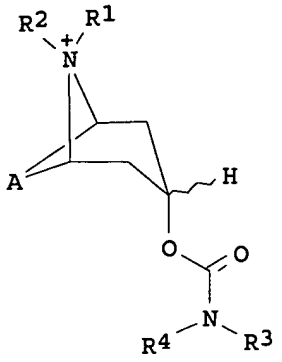

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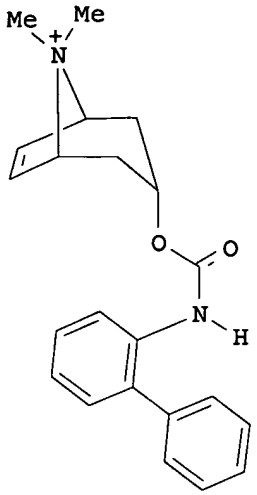


II

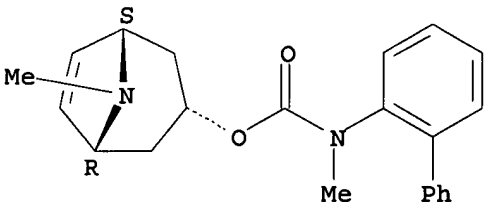
AB The present invention concerns new carbamic acid esters, e.g., I·X- [X = neg. charged ion (Cl, Br, I, sulfate, phosphate, MeSO3, NO3, maleate, OAc, citrate, fumarate, tartrate, oxalate, succinate, PhCO2, p-MeC6H4SO3); A = CH2CH2, CH:CH, oxirane-2,3-diyl, cyclopropane-1,2-diyl; R1, R2 = C1-5-alkyl, hydroxyalkyl, haloalkyl, (C3-6-cycloalkyl)alkyl; R1R2 = C3-6-alkylene; R3, R4 = H, (un)substituted C1-5-alkyl, C6-10-aryl, (C6-10-aryl)-(C1-4-alkylene)],their enantiomers, diastereomers, their physiol. acceptable salts, solvates and hydrates, and procedures for their production as well as their use can have as drugs, in particular as drugs with anti-cholinergic effectiveness. Thus, tropenol ester II·Br- was prepared from tropenol via carbamylation with 2-PhC6H4NCO in MeCN and quaternization with MeBr in MeCN. M3 receptor binding for I was studied (no data).

IT **697794-75-5P 698387-99-4P**, Tropenol N-[(1,1'-biphenyl-2-yl)methyl]carbamate **698388-00-0P**, Tropenol N-(9H-fluoren-9-yl)carbamate **698390-05-5P**, Tropenol N-benzhydrylcarbamate **698390-47-5P**, Tropenol N-(1,1'-biphenyl-2-yl)carbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with Me bromide; preparation of new carbamic acid
esters with anti-cholinergic effectiveness)

RN 697794-75-5 CAPLUS

CN Carbamic acid, [1,1'-biphenyl]-2-ylmethyl-, (3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-6-en-3-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

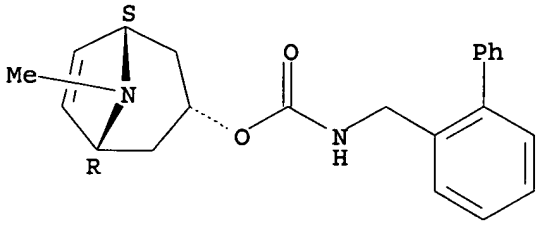
Relative stereochemistry.



● HCl

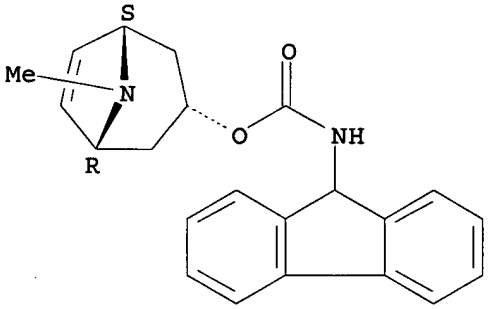
RN 698387-99-4 CAPLUS
CN Carbamic acid, ([1,1'-biphenyl]-2-ylmethyl)-, (3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-6-en-3-yl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



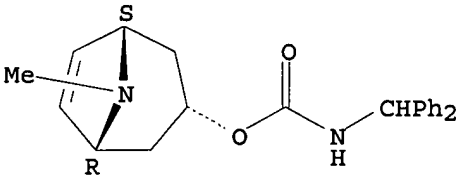
RN 698388-00-0 CAPLUS
CN Carbamic acid, 9H-fluoren-9-yl-, (3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-6-en-3-yl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



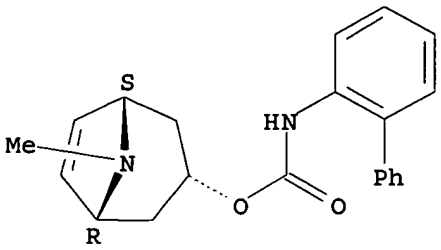
RN 698390-05-5 CAPLUS
CN Carbamic acid, (diphenylmethyl)-, (3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-6-en-3-yl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 698390-47-5 CAPLUS
CN Carbamic acid, [1,1'-biphenyl]-2-yl-, (3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-6-en-3-yl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

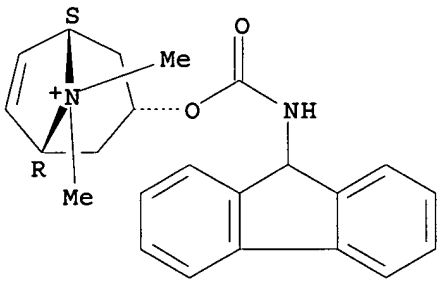


IT **697794-72-2P 698390-61-3P**, Tropenol N-(1,1'-biphenyl-2-yl)carbamate methobromide **698390-63-5P**, Tropenol N-(1,1'-biphenyl-2-yl)-N-methylcarbamate methobromide **698390-64-6P**, Tropenol N-benzhydrylcarbamate methobromide **698390-65-7P**, Tropenol N-[(1,1'-biphenyl-2-yl)methyl]carbamate methobromide
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of new carbamic acid esters with anti-cholinergic effectiveness)

RN 697794-72-2 CAPLUS

CN 8-Azoniabicyclo[3.2.1]oct-6-ene, 3-[[(9H-fluoren-9-ylamino)carbonyl]oxy]-8,8-dimethyl-, bromide, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



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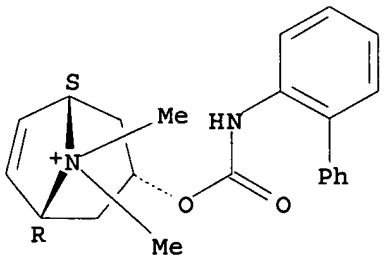
RN 698390-61-3 CAPLUS

CN 8-Azoniabicyclo[3.2.1]oct-6-ene, 3-[[([1,1'-biphenyl]-2-ylamino)carbonyl]oxy]-8,8-dimethyl-, bromide, (1α,3β,5α)- (9CI) (CA INDEX NAME)

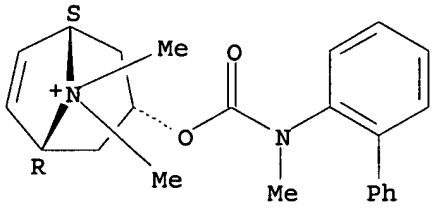
Relative stereochemistry.



● Br^-

RN 698390-63-5 CAPLUS
CN 8-Azoniabicyclo[3.2.1]oct-6-ene, 3-[[([1,1'-biphenyl]-2-ylmethylamino)carbonyl]oxy]-8,8-dimethyl-, bromide, (1α,3β,5α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



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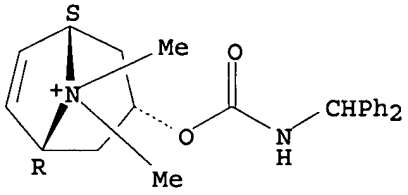
RN 698390-64-6 CAPLUS
CN 8-Azoniabicyclo[3.2.1]oct-6-ene, 3-[[[(diphenylmethyl)amino]carbonyl]oxy]-8,8-dimethyl-, bromide, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



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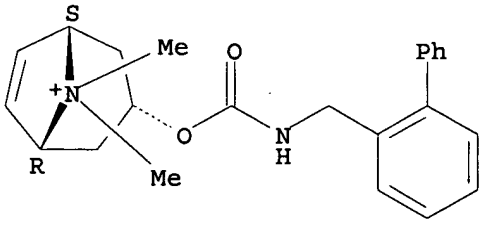
RN 698390-65-7 CAPLUS
CN 8-Azoniabicyclo[3.2.1]oct-6-ene, 3-[[[([1,1'-biphenyl]-2-ylmethyl)amino]carbonyl]oxy]-8,8-dimethyl-, bromide, (1α,3β,5α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



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